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(54) PHARMACEUTICAL AND DIETETIC COMPOSITION

(71) We, KEIMDIAT GMBH, of Pfladergasse 7—13, 89 Augsburg, West Germany, a German Company, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed to be particularly described in and by the following statement:—

This invention relates to pharmaceutical and dietetic compositions.

As phosphatides, among which lecithin is also reckoned, are described all lipido-esters of phosphoric acid. They are widely distributed throughout the animal and vegetable kingdoms.

Lecithin occurs along with other lipoids in nearly all plant cells. The oily seeds are particularly rich in this substance. Lecithin is obtained from these together with oil by extraction with solvents or by pressing, and forms an important by-product in the refining of the oils.

Plant lecithins in raw or purified form have been used for a long time for technical, pharmaceutical, dietetic and cosmetic purposes. It is known that lecithins are good emulsifiers and they have, therefore, been used on a large scale as additives in the production of chocolate, margarine and other foodstuffs, as well as in pharmaceutical preparations.

It is further known that phosphatides belong to the physiologically most important constituents of cell walls and determine their permeability. They contribute to fat exchange and are of fundamental importance to stimulus conduction in the nerve substance. For these reasons lecithins in raw or purified form are often an important constituent of pharmaceutical and dietetic preparations. They are used in comparatively large quantities, since lecithin in raw or purified form is poorly resorbed. The greater part of an orally introduced lecithin is decomposed in the intestines by the body's own ferments and then transformed behind the intestinal walls into the natural bodily phosphatide compounds. Only a small proportion of lecithin supplied through food is corpuscularly persorbed.

The preparation and use of raw and purified lecithin is generally known. It is also known that lecithins can be modified by chemical attack, and products with wholly novel physical and chemical properties can be thus obtained.

The invention consists in a pharmaceutical or dietetic composition comprising, in admixture with a pharmaceutical solid or liquid carrier or dilent, a natural lecithin fraction containing inositol, the said fraction comprising phosphatidyl-inositol, phosphatidyl-serine, and at least one other phosphatide insoluble in alcohol, the said composition further comprising inositol-hexaphosphoric acid or phytin.

The composition may be in admixture with fat-soluble vitamins such as vitamins A and E and/or other pharmaceutical or dietetic substances, such as phyto-oestrogens. The aggregate phosphatide content of the composition is preferably substantially 76% by weight based on the lecithin fraction.

Inositol hexaphosphoric acid and phytin are used in pharmacology as a vitamin of the B complex, bonded to phosphoric acid for improving carbohydrate and fat exchange. Moreover, inositol-hexaphosphoric acid is used as a tonic.

The majority of inositol phosphatides contained in the lecithin fraction have a different chemical structure. These are particularly rapidly resorbed and incorporated in the brain lipids of humans. Not only are they similar to vitamins in character, but they also improve the functioning of the brain.

A feeding experiment has been made on rats with an inositol-phosphatide fraction obtained from soya-bean lecithin. No recognisable differences in weight and external appearance was observed between the animals which had been fed inositol phosphatides and those which had not. No toxic effect

in respect of growth, appearance and behavious was observed during the experiment.

The inositol-phosphatide fraction has now been tried out in parcelled form in a clinical test on people. 90 subjects with different forms of Acne vulgaris, nail dystophias and defective nail growth were given for six months three times daily a lozenge containing 0.3 g of inositol phosphatides and 0.3 mg of vitamin E. Two-thirds of the subjects showed an improvement and nearly a third of the subjects were almost completely healed. In nail deformation about two-thirds of the subjects showed a more or less clear improvement and most of the effects that occurred were already apparent after six weeks of medication. It was also noticeable that the blood supply to the skin, especially in the extremeties, improved and the patients suffered from cold feet and hands to a reduced extent.

These findings are quite new, and it is surprising that the small daily dosage of 0.9 grammes of natural lecithin fraction containing inositol from soya beans triggers off such far-reaching clinical effects. It is, therefore, understandable that the same fraction is comparably low dosage, possibly in admixture with fat-soluble vitamins or other agents, has an extraordinarily favourable effect on the metabolism of brain matter. Consequently, the natural lecithin fraction is not only a means of improving the appearance of the skin and nails, but can also be used as a tonic for geriatric treatment. It will be seen that small quantities of the inositol-phosphatide fraction improve the stimulus conduction in the nerve substance and are superior to the raw or purified plant lecithin in this respect also.

The lecithin fraction according to the invention was prepared by first filtering, de-bittering and deodorating raw lecithin. After this refining, the oil was removed by acetone. Then followed a fractionation by ethanol. A proportion of lecithin was dissolved in alcohol, and a further proportion remained undissolved. The alcohol-insoluble part was filtered centrifuged off and carefully dried. This was the natural fraction containing inositol and consisted of phosphatidyl - inositol, phosphatidyl-serine and other phosphatides. Chemical analysis of the product gave a total phosphatide content of 76% by weight, the water content amounted to 2% by weight, the nitrogen content to 820 mg/100 g and the ash content to 11.5% by weight. The analysis data relate to the inositol-phosphatide fraction obtained from soya-bean lecithin. Obviously it is readily possible to arrive at the desired inositol-phosphatide fraction also from other plant lecithins, e.g. sunflower lecithin or wheat-germ lecithin, by the same process.

WHAT WE CLAIM IS:—

1. A pharmaceutical or dietetic composition comprising, in admixture with a pharmaceutical solid or liquid carrier or diluent, a natural lecithin fraction containing inositol, the said fraction comprising phosphatidyle-inositol, phosphatidyl-serine, and at least one other phosphatide insoluble in alcohol, the said composition further comprising inositol-hexaphosphoric acid or phytin.

2. A composition as claimed in Claim 1 in admixture with at least one fat-soluble vitamin.

3. A composition as claimed in Claim 2 wherein the fat-soluble vitamin is vitamin A or vitamin E.

4. A composition as claimed in any of claims 1 to 3 in admixture with a phyto-oestrogen.

5. A composition as claimed in any of Claims 1 to 4 wherein the aggregate phosphatide content is substantially 76% by weight based on the lecithin fraction.

6. A composition as claimed in any of Claims 1 to 5 in the form of a lozenge.

7. A pharmaceutical and dietetic composition according to Claim 1 substantially as herein described.

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